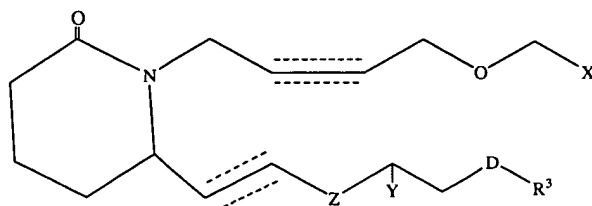


## CLAIMS

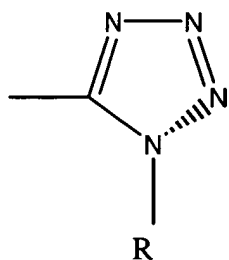
1. A method of treating ocular hypertension or glaucoma which comprises administering to an animal having ocular hypertension or glaucoma a therapeutically effective amount of a compound represented by the general formula I;



- wherein hatched lines represent the  $\alpha$  configuration, a triangle represents the  $\beta$  configuration, a wavy line represents either the  $\alpha$  configuration or the  $\beta$  configuration and a dotted line represents the presence or absence of a double or a triple bond;

D represents a covalent bond or  $\text{CH}_2$ , O, S or NH;

X is  $\text{CO}_2\text{R}$ ,  $\text{CONR}_2$ ,  $\text{CH}_2\text{OR}$ ,  $\text{P(O)(OR)}_2$ ,  $\text{CONRSO}_2\text{R}$ ,  $\text{SONR}_2$  or



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Z is  $\text{CH}_2$  or a covalent bond;

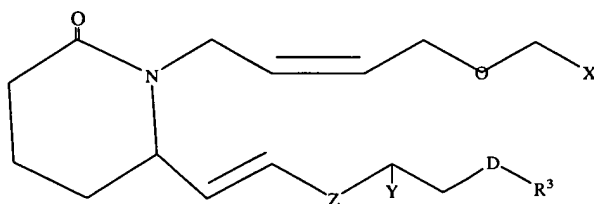
R is H or R<sup>2</sup>;

R<sup>1</sup> is H, R<sup>2</sup>, phenyl, or COR<sup>2</sup>;

R<sup>2</sup> is C<sub>1</sub>-C<sub>5</sub> lower alkyl or alkenyl and R<sub>3</sub> is selected from the group consisting of R<sup>2</sup>, phenyl, thienyl, furanyl, pyridyl, benzothienyl, benzofuranyl, naphthyl, or substituted derivatives thereof, wherein the substituents maybe selected from the group consisting of C<sub>1</sub>-C<sub>5</sub> alkyl, halogen, CF<sub>3</sub>, CN, NO<sub>2</sub>, NR<sub>2</sub>, CO<sub>2</sub>R and OR.

2. The method according to claim 1 wherein said compound is represented by the general formula II;

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3. The method of claim 1 wherein Z represents a covalent bond.

15 4. The method of claim 1 wherein D is CH<sub>2</sub>.

5. The method of claim 1 wherein X is CO<sub>2</sub> R.

20 6. The method of claim 5 wherein R is selected from the group consisting of H and methyl.

7. The method of claim 5 wherein R is H, or C<sub>1</sub>-C<sub>5</sub> alkyl.

8. The method of claim 1 wherein R<sub>1</sub> is H.

25

9. The method of claim 1 wherein R<sup>3</sup> is selected from the group consisting of phenyl and n-propyl.

10. The method of claim 1 wherein said compound is selected from the group consisting of

{4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-butoxy}-acetic acid methyl ester,

{4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-butoxy}-acetic acid,

{4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid methyl ester,

{4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid,

{4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid methyl ester,

{4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid,

{(Z)-4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,

{(Z)-4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid,

{4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-butoxy}-acetic acid methyl ester,

{4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-butoxy}-acetic acid,

{(Z)-4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,

{(Z)-4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid,

{(Z)-4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,

{(Z)-4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid,

- 5 {(Z)-4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,

{(Z)-4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid,

- 10 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-butoxy)-acetic acid

- 15 2-(4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-butoxy)-acetamide,

(4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-butoxy)-acetic acid isopropyl ester,

- 20 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-oxo-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid methyl ester,

(4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-oxo-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid,

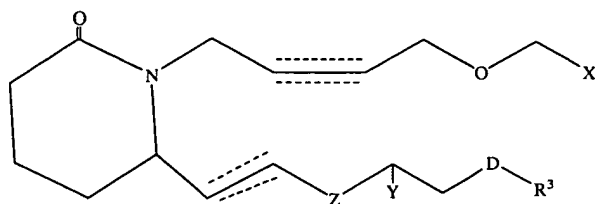
- 25 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid methyl ester,

- 30 (R)-6-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-1-[4-(2-hydroxyethoxy)-but-2-ynyl]-piperidin-2-one,

(4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid and

- 35 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid isopropyl ester.

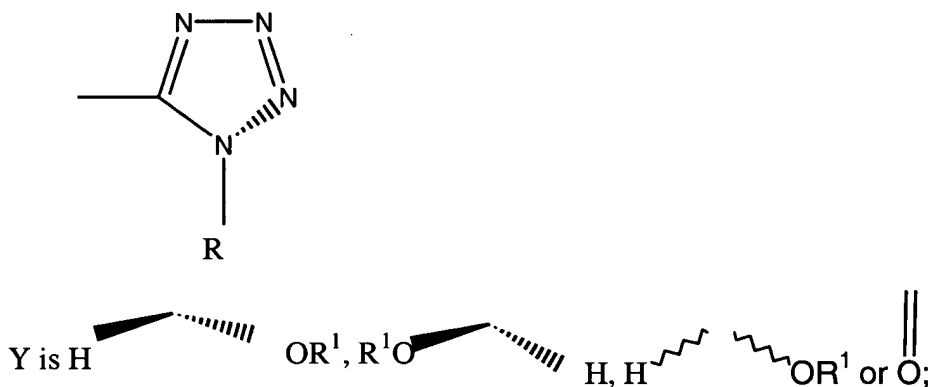
11. A compound represented by the general formula I;



- wherein hatched lines represent the  $\alpha$  configuration, a triangle represents the  $\beta$  configuration, a wavy line represents either the  $\alpha$  configuration or the  $\beta$  configuration and a dotted line represents the presence or absence of a double or a
- 5 triple bond;

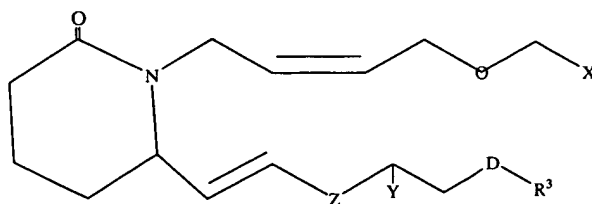
D represents a covalent bond or  $\text{CH}_2$ , O, S or NH;

X is  $\text{CO}_2\text{R}$ ,  $\text{CONR}_2$ ,  $\text{CH}_2\text{OR}$ ,  $\text{P}(\text{O})(\text{OR})_2$ ,  $\text{CONR}\text{SO}_2\text{R}$ ,  $\text{SONR}_2$  or



- 10 Z is  $\text{CH}_2$  or a covalent bond;
- R is H or  $\text{R}^2$ ;
- $\text{R}^1$  is H,  $\text{R}^2$ , phenyl, or  $\text{COR}^2$ ;
- $\text{R}^2$  is  $\text{C}_1$ - $\text{C}_5$  lower alkyl or alkenyl and  $\text{R}_3$  is selected from the group consisting of
- $\text{R}^2$ , phenyl, thienyl, furanyl, pyridyl, benzothienyl, benzofuranyl, naphthyl, or
- 15 substituted derivatives thereof, wherein the substituents maybe selected from the group consisting of  $\text{C}_1$ - $\text{C}_5$  alkyl, halogen,  $\text{CF}_3$ , CN,  $\text{NO}_2$ ,  $\text{NR}_2$ ,  $\text{CO}_2\text{R}$  and OR .

12. The compound according to claim 11 wherein said compound is represented by the general formula II;



5

13. The compound of claim 11 wherein Z represents a covalent bond.

14. The compound of claim 11 wherein D is CH<sub>2</sub>.

10 15. The compound of claim 11 wherein X is CO<sub>2</sub> R.

16. The compound of claim 15 wherein R is selected from the group consisting of H and methyl.

15 17. The compound claim 15 wherein R is H, or C<sub>1</sub>-C<sub>5</sub> alkyl.

18. The compound of claim 11 wherein R<sub>1</sub> is H.

19. The compound of claim 11 wherein R<sup>3</sup> is selected from the group consisting of phenyl and n-propyl.

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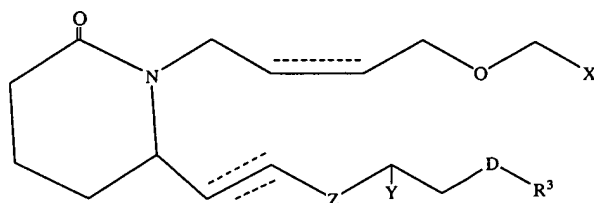
20. The compound of claim 11 wherein said compound is selected from the group consisting of

{4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-butoxy}-acetic acid methyl ester,

25

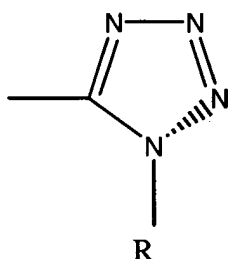
- {4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-butoxy}-acetic acid,
- 5 {4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid methyl ester,
- {4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid,
- 10 {4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid methyl ester,
- {4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-butoxy}-acetic acid,
- 15 {(Z)-4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,
- {(Z)-4-[(R)-2-Oxo-6-((E)-3-oxo-4-phenyl-but-1-enyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid,
- 20 {4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-butoxy}-acetic acid methyl ester,
- {4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-butoxy}-acetic acid,
- 25 {(Z)-4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,
- {(Z)-4-[(R)-2-((E)-3-Hydroxy-4-phenyl-but-1-enyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid,
- 30 {(Z)-4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,
- 35 {(Z)-4-[(R)-2-Oxo-6-(3-oxo-4-phenyl-butyl)-piperidin-1-yl]-but-2-enyloxy}-acetic acid,
- {(Z)-4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid methyl ester,
- 40 {(Z)-4-[(R)-2-(3-Hydroxy-4-phenyl-butyl)-6-oxo-piperidin-1-yl]-but-2-enyloxy}-acetic acid,

- (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-butoxy)-acetic acid,
- 5 2-(4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-butoxy)-acetamide,
- (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-butoxy)-acetic acid isopropyl ester,
- 10 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-oxo-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid methyl ester,
- (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-oxo-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid,
- 15 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid methyl ester,
- (R)-6-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-1-[4-(2-hydroxyethoxy)-but-2-ynyl]-piperidin-2-one,
- 20 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid and
- 25 (4-{(R)-2-[(E)-4-(3-Chlorophenyl)-3-hydroxy-but-1-enyl]-6-oxo-piperidin-1-yl}-but-2-ynyloxy)-acetic acid isopropyl ester.
21. An ophthalmic solution comprising a therapeutically effective amount of a
- 30 compound represented by the general Formula 1;





wherein hatched lines represent the  $\alpha$  configuration, a triangle represents the  $\beta$  configuration, a wavy line represents the  $\alpha$  configuration or the  $\beta$  configuration and a dotted line represents the presence or absence of a double or a triple bond;  
 D represents a covalent bond or  $\text{CH}_2$ , O, S or NH;



5 X is C

$\text{O}_2\text{R}$ ,  $\text{CONR}_2$ ,  $\text{CH}_2\text{OR}$ ,  $\text{P}(\text{O})(\text{OR})_2$ ,  $\text{CONRSO}_2\text{R}$   $\text{SONR}_2$  or

Z is  $\text{CH}_2$  or a covalent bond;

R is H or  $\text{R}^2$ ;

10  $\text{R}^1$  is H,  $\text{R}^2$ , phenyl, or  $\text{COR}^2$ ;

$\text{R}^2$  is  $\text{C}_1$ - $\text{C}_5$  lower alkyl or alkenyl and  $\text{R}_3$  is selected from the group consisting of  $\text{R}^2$ , phenyl, thienyl, furanyl, pyridyl, benzothienyl, benzofuranyl, naphthyl or substituted derivatives thereof, wherein the substituents maybe selected from the group consisting of  $\text{C}_1$ - $\text{C}_5$  alkyl, halogen,  $\text{CF}_3$ , CN,  $\text{NO}_2$ ,  $\text{NR}_2$ ,  $\text{CO}_2\text{R}$  and OR in

15 admixture with a non-toxic, ophthalmically acceptable liquid vehicle, packaged in a container suitable for metered application.

22. A pharmaceutical product, comprising a container adapted to dispense the contents of said container in metered form; and an ophthalmic solution according to  
 20 claim 21 in said container.